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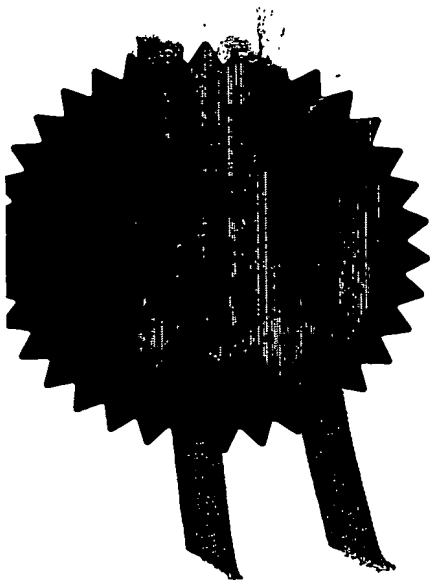

Signed

Dated 16 October 2003

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Patents Form 1/77

Patents Act 1977
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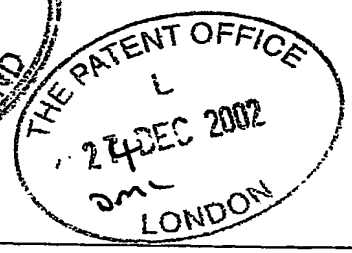

1/77

28DEC02 E773703-2 D02093
P01/7700 0.00-0230155.4

Request for grant of a patent

(See the notes on the back of this form. You can also get an explanatory leaflet from the Patent Office to help you fill in this form)

The Patent Office

Cardiff Road
Newport
South Wales
NP10 8QQ

1. Your reference

PPD70175/GB/P

2. Patent application number
(The Patent Office will fill in this part)

0230155.4

24 DEC 2002

3. Full name, address and postcode of the or of each applicant *(underline all surnames)*

SYNGENTA PARTICIPATIONS AG
Intellectual Property Department
Schwarzwaldallee 215
4058 Basel
SWITZERLAND

Patents ADP number *(if you know it)*

8029555001

If the applicant is a corporate body, give the country/state of its incorporation

CH

4. Title of the invention

CHEMICAL COMPOUNDS

5. Name of your agent *(if you have one)*

"Address for service" in the United Kingdom to which all correspondence should be sent *(including the postcode)*

DR M K OSBORN
Intellectual Property Department
Syngenta Limited
Jealott's Hill International Research Centre
PO Box 3538
Bracknell, Berkshire, RG42 6YA
UNITED KINGDOM

Patents ADP number *(if you know it)*

8029563001

CH

6. If you are declaring priority from one or more earlier patent applications, give the country and the date of filing of the or of each of these earlier applications and *(if you know it)* the or each application number

Country	Priority application number *(if you know it)*	Date of filing *(day / month / year)*

7. If this application is divided or otherwise derived from an earlier UK application, give the number and the filing date of the earlier application

Number of earlier application	Date of filing *(day / month / year)*

8. Is a statement of inventorship and of right to grant of a patent required in support of this request? *(Answer 'Yes' if:*

a) any applicant named in part 3 is not an inventor, or
b) there is an inventor who is not named as an applicant, or
c) any named applicant is a corporate body.
See note (d))

YES (b)

Patents Form 1/77

9. Enter the number of sheets for any of the following items you are filing with this form. Do not count copies of the same document

Continuation sheets of this form	
Description	43
Claim(s)	03
Abstract	00
Drawing(s)	00

10. If you are also filing any of the following, state how many against each item.

Priority documents	
Translations of priority documents	
Statement of inventorship and right to grant of a patent *(Patents Form 7/77)*	
Request for preliminary examination and search *(Patents Form 9/77)*	
Request for substantive examination *(Patents Form 10/77)*	
Any other documents *(please specify)*	

11. I/We request the grant of a patent on the basis of this application.

SYNGENTA PARTICIPATIONS AG

Signature Anne Curry Date 23.12.02.

Authorised Signatory

12. Name and daytime telephone number of person to contact in the United Kingdom

Martin K OSBORN – 01344 413720

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Notes

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b) Write your answers in capital letters using black ink or you may type them.

c) If there is not enough space for all the relevant details on any part of this form, please continue on a separate sheet of paper and write "see continuation sheet" in the relevant part(s). Any continuation sheet should be attached to this form.

d) If you have answered 'Yes' Patents Form 7/77 will need to be filed.

e) Once you have filled in the form you must remember to sign and date it.

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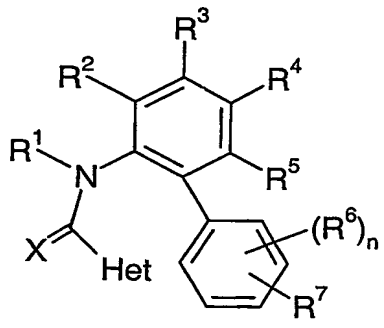
CHEMICAL COMPOUNDS

The present invention relates to novel carboxamide derivatives as active ingredients which have microbiocidal activity, in particular fungicidal activity. The invention also relates to preparation of these active ingredients, to novel diphenyl derivatives used as intermediates in the preparation of these active ingredients, to preparation of these novel intermediates, to agrochemical compositions which comprise at least one of the novel active ingredients, to preparation of these compositions and to use of the active ingredients or compositions in agriculture or horticulture for controlling or preventing infestation of plants by phytopathogenic microorganisms, preferably fungi.

Fungicidally active carboxamide derivatives are disclosed in JP2001072510, JP2001072508, JP2001072507 and JP2001302605.

Certain amino- or halo-substituted diphenyl derivatives are disclosed in DE2205732 and JP2001302605.

The present invention provides a compound of formula (I):



(I)

where

Het is a 5- or 6-membered heterocyclic ring containing one to three heteroatoms, each independently selected from oxygen, nitrogen and suphur, provided that the ring is not 1,2,3-triazole, the ring being substituted by one, two or three groups R^y;

R^1 is hydrogen, formyl, CO-C_{1-4} alkyl, COO-C_{1-4} alkyl, C_{1-4} alkoxy(C_{1-4})alkylene, CO-C_{1-4} alkylenoxy(C_{1-4})alkyl, propargyl or allenyl;

R^2, R^3, R^4 and R^5 are each, independently, hydrogen, halogen, methyl or CF_3;

each R^6 is, independently, halogen, methyl or CF_3;

R^7 is $(Z)_mC{\equiv}C(Y^1)$, $(Z)_mC(Y^1){=}C(Y^2)(Y^3)$ or tri(C_{1-4})alkylsilyl;

each R^y is, independently, halogen, C_{1-3} alkyl, C_{1-3} haloalkyl, C_{1-3} alkoxy(C_{1-3})alkylene or cyano;

X is O or S;

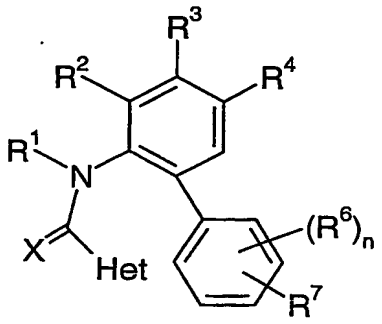
Y^1, Y^2 and Y^3 are each, independently, hydrogen, halogen, C_{1-4} alkyl [optionally substituted by one or more substituents each independently selected from halogen, hydroxy, C_{1-4} alkoxy, C_{1-4} haloalkoxy, C_{1-4} alkylthio, C_{1-4} haloalkylthio, C_{1-4} alkylamino, di(C_{1-4})alkylamino, C_{1-4} alkoxycarbonyl and tri(C_{1-4})alkylsilyl], C_{2-4} alkenyl [optionally substituted by one or more substituents each independently selected from halogen], C_{2-4} alkynyl [optionally substituted by one or more substituents each independently selected from halogen], C_{3-7} cycloalkyl [optionally substituted by one or more substituents each independently selected from halogen, C_{1-4} alkyl and C_{1-4} haloalkyl] or tri(C_{1-4})alkylsilyl;

Z is C_{1-4} alkylene [optionally substituted by one or more substituents each independently selected from hydroxy, cyano, C_{1-4} alkoxy, C_{1-4} haloalkoxy, C_{1-4} alkylthio, COOH and COO-C_{1-4} alkyl];

m is 0 or 1; and

n is 0, 1 or 2.

In one particular aspect, the present invention provides a compound of formula (IA):



(IA)

where Het, R^1, R^2, R^3, R^4, R^6, R^7, X and n are as defined above.

Halogen is fluorine, chlorine, bromine or iodine [preferably fluorine, chlorine or bromine].

Each alkyl moiety is a straight or branched chain and is, for example, methyl, ethyl, n-propyl, n-butyl, iso-propyl, n-butyl, sec-butyl, iso-butyl or tert-butyl. Likewise, each alkylene moiety is a straight or branched chain.

Haloalkyl moieties are alkyl moieties which are substituted by one or more of the same or different halogen atoms and are, for example, CF_3, CF_2Cl, CHF_2, CH_2F, CCl_3, CF_3CH_2, CHF_2CH_2, CH_2FCH_2, CH_3CHF or CH_3CF_2.

Alkenyl and alkynyl moieties can be in the form of straight or branched chains. The alkenyl moieties, where appropriate, can be of either the (E)- or (Z)-configuration. Examples are vinyl, allyl, ethynyl and propargyl.

Cycloalkyl includes cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl and cycloheptyl.

In tri(C_{1-4})alkylsilyl and in di(C_{1-4})alkylamino, each alkyl moiety is selected independently.

Throughout this description, Me stands for methyl and Et stands for ethyl.

It is preferred that Het is pyrazole, pyrrole, thiophene, furan, thiazole, isothiazole, oxazole, isoxazole, pyridine, pyrazine, pyrimidine, pyridazine, 5.6-dihydropyran or 5.6-dihydro-1.4-oxathiine [more preferably pyrazole, pyrrole, thiophene, furan, thiazole,

oxazole, pyridine, pyrimidine, pyridazine or 5.6-dihydropyran and even more preferably pyrazole, pyrrole or thiazole].

Preferably R^1 is hydrogen, propargyl, allenyl, formyl, COMe, COEt or $COCH_2OMe$.

More preferably R^1 is hydrogen.

Preferably R^2 is hydrogen.

Preferably R^3 is hydrogen.

Preferably R^4 is hydrogen.

Preferably R^5 is hydrogen or halogen.

More preferably R^5 is hydrogen or fluorine.

Even more preferably R^5 is hydrogen.

Preferably R^7 is in the 4' position.

Preferably R^7 is vinyl [optionally substituted by one to three substituents each independently selected from halogen, C_{1-4} alkyl, C_{1-3} haloalkyl, C_{3-6} cycloalkyl and trimethylsilyl], ethynyl [optionally substituted by one substituent selected from halogen, C_{1-4} alkyl, C_{1-2} haloalkyl and trimethylsilyl], allyl [optionally substituted by one to three substituents each independently selected from halogen, CH_3, C_{1-2} haloalkyl and trimethylsilyl], propargyl [optionally substituted by one to three substituents each independently selected from halogen, CH_3, C_{1-2} haloalkyl and trimethylsilyl], cyclopropyl [optionally substituted by one to five substituents each independently selected from halogen, CH_3, C_{1-2} haloalkyl and trimethylsilyl] or tri(C_{1-4})alkylsilyl.

More preferably R^7 is $CH=CH_2$, $CH=CH(CH_3)$, $CH=CHSiMe_3$, $CH=CF_2$, $CH=CCl_2$, $C(CH_3)=CCl_2$, $CH=CBr_2$, $CF=CF_2$, $CCl=CH_2$, $CBr=CH_2$, $CF=CH_2$, $CF=CHF$, $CH=CHCF_3$, $CH=CClCF_3$, $CH=CBrCF_3$, $CH_2CH=CH_2$, $CH_2CH=CHSiMe_3$, $C\equiv CH$, $C\equiv CSiMe_3$, $C\equiv CSiEt_3$, $C\equiv CSiMe_2C(CH_3)_3$, $C\equiv CCl$, $C\equiv CBr$, $C\equiv CCF_3$, $C\equiv CCF_2H$, $C\equiv CCF_2Cl$, $C\equiv CCF_2Br$, $C\equiv CCF(CF_3)_2C\equiv CMe$, $C\equiv CCHMe_2$, $C\equiv CCMe_3$, $C\equiv CCMe_2Cl$, $C\equiv CCH_2OMe$, $C\equiv C(cycloC_3H_5)$, $C\equiv C(cycloC_5H_9)$, $CH_2C\equiv CH$, $SiMe_3$ or $CH_2C\equiv CSiMe_3$.

Even more preferably R^7 is $CH=CH_2$, $CH=CHSiMe_3$, $CH=CF_2$, $CH=CCl_2$, $CH=CBr_2$, $CF=CF_2$, $CCl=CH_2$, $CBr=CH_2$, $CF=CHF$, $CH=CHCF_3$, $CH=CClCF_3$, $C\equiv CH$, $C\equiv CSiMe_3$, $C\equiv CCl$, $C\equiv CBr$, $C\equiv CCF_3$, $C\equiv CMe$, $C\equiv CCMe_3$, $C\equiv CCHMe_2$, $C\equiv C(cycloC_3H_5)$, $CH_2C\equiv CH$, $SiMe_3$ or $CH_2C\equiv CSiMe_3$.

Yet more preferably R^7 is $CH=CHSiMe_3$, $CH=CF_2$, $CH=CCl_2$, $CH=CBr_2$, $CF=CF_2$, $CCl=CH_2$, $CBr=CH_2$, $CF=CHF$, $CH=CHCF_3$, $CH=CClCF_3$, $C\equiv CH$, $C\equiv CSiMe_3$, $C\equiv CCl$, $C\equiv CBr$, $C\equiv CCF_3$, $C\equiv CMe$, $C\equiv CCMe_3$, $C\equiv CCHMe_2$, $C\equiv C(cyclo C_3H_5)$, $CH_2C\equiv CH$, $SiMe_3$ or $CH_2C\equiv CSiMe_3$.

Preferably nitrogen atoms in the Het ring are, independently, either unsubstituted or substituted by R^y.

When R^y is a substituent on a nitrogen atom it is preferably C_{1-3} alkyl, C_{1-3} haloalkyl or methoxymethylene; more preferably C_{1-2} alkyl, CF_3, CF_2Cl, CHF_2, CH_2F or methoxymethylene; even more preferably methyl, CHF_2 or methoxymethylene; and most preferably methyl or methoxymethylene.

Preferably carbon atoms in the Het ring which are not bonded to the atom substituted by $CXNR^1$ are, independently, either unsubstituted or substituted by R^y.

When R^y is a substituent on a carbon atom which is not bonded to the atom substituted by $CXNR^1$ it is preferably halogen, C_{1-3} alkyl, C_{1-3} haloalkyl or methoxymethylene; more preferably chloro, methoxymethylene, CH_3, CHF_2 or CF_3; and even more preferably CH_3 or CF_3.

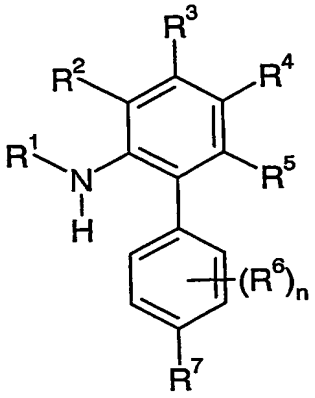
There may be one or two carbon atoms in the Het ring bonded to the atom substituted by $CXNR^1$; preferably such carbon atoms are, independently, either unsubstituted or substituted by R^y.

When R^y is a substituent on a carbon atom bonded to the atom substituted by $CXNR^1$ it is preferably halogen, C_{1-3} alkyl or C_{1-3} haloalkyl; more preferably chloro, fluoro, bromo, C_{1-2} alkyl, CF_3, CF_2Cl, CHF_2, CH_2F; and even more preferably chloro, fluoro, bromo, methyl, CF_3, CHF_2 or CH_2F.

Preferably n is 0.

Preferably X is O.

Compounds of formula (II):

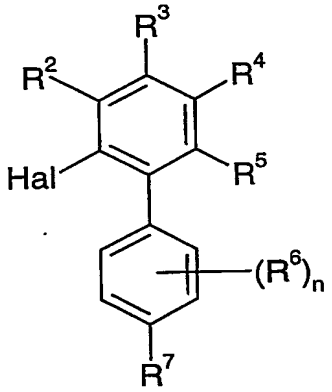


(II)

where R^1, R^2, R^3, R^4, R^5, R^6, R^7 and n are as defined above for a compound of formula (I), are also novel [except for the compound of formula (II) where R^1, R^2, R^3, R^4 and R^5 are each hydrogen, n is 0 and R^7 is $CH=CHCH_2CO_2H$] and are useful as intermediates in the preparation of compounds of formula (I).

Therefore, in another aspect the present invention provides a compound of formula (II), where R^1, R^2, R^3, R^4, R^5, R^6, R^7 and n are as defined above for a compound of formula (I) provided that when R^1, R^2, R^3, R^4 and R^5 are each hydrogen and n is 0 then R^7 is not $CH=CHCH_2CO_2H$.

Compounds of formula (III):



(III)

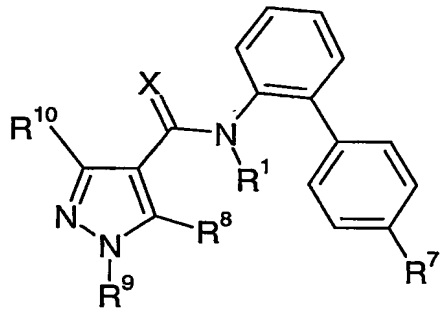
where R^2, R^3, R^4, R^5, R^6, R^7 and n are as defined above for a compound of formula (I) and Hal is halogen, are also novel [except for the known compound trans-4-(2'-fluoro-4-biphenylyl)-3-butenoic acid ethylester] and are useful as intermediates in the preparation of compounds of formula (I).

Therefore, in a further aspect the present invention provides a compound of formula (III), where R^2, R^3, R^4, R^5, R^6, R^7 and n are as defined above for a compound of formula (I) and Hal is halogen provided that when R^2, R^3, R^4 and R^5 are each hydrogen, Hal is fluorine and n is 0, then R^7 is not $CH=C(H)CH_2CO_2CH_2CH_3$.

The compounds of formulae (I), (II) and (III) may exist as different geometric or optical isomers or in different tautomeric forms. For each formula, this invention covers all such isomers and tautomers and mixtures thereof in all proportions as well as isotopic forms such as deuterated compounds.

The compounds in Tables 1 to 13 below illustrate compounds of the invention.

Table 1 provides 81 compounds of formula (Ia):



(Ia)

wherein R^1, R^7, R^8, R^9, R^{10} and X are as defined in Table 1.

Table 1

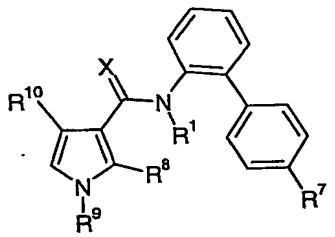
Compound No.	R^1	R^7	R^8	R^9	R^{10}	X
1.01	H	C::CH	H	Me	CF_3	O
1.02	H	C::CH	H	Me	CF_3	S
1.03	H	C::CH	H	Me	CF_2H	O
1.04	propargyl	C::CH	H	Me	CF_3	O
1.05	H	C::CH	F	Me	Me	O
1.06	H	C::CH	H	CH_2OMe	CF_3	O
1.07	allenyl	C::CH	H	Me	CF_3	O
1.08	H	C::$CSiMe_3$	H	Me	CF_3	O

1.09	H	C::CSiMe$_3$	H	Me	CF_3	S
1.10	H	C::CSiMe$_3$	H	Me	CF_2H	O
1.11	H	C::CSiMe$_3$	F	Me	Me	O
1.12	H	C::CCl	H	Me	CF_3	O
1.13	H	C::CCl	H	Me	CF_2H	O
1.14	H	C::CCl	F	Me	Me	O
1.15	H	C::CBr	H	Me	CF_3	O
1.16	H	C::CBr	H	Me	CF_2H	O
1.17	H	C::CBr	F	Me	Me	O
1.18	H	C::CCF$_3$	H	Me	CF_3	O
1.19	H	C::CCF$_3$	H	Me	CF_2H	O
1.20	H	C::CCF$_3$	F	Me	Me	O
1.21	allenyl	C::CCF$_3$	H	Me	CF_3	O
1.22	H	CH=CH$_2$	H	Me	CF_3	O
1.23	H	CH=CH$_2$	H	Me	CF_3	S
1.24	H	CH=CH$_2$	H	Me	CF_2H	O
1.25	propargyl	CH=CH$_2$	H	Me	CF_3	O
1.26	H	CH=CH$_2$	F	Me	Me	O
1.27	H	CH=CH$_2$	H	CH_2OMe	CF_3	O
1.28	allenyl	CH=CH$_2$	H	Me	CF_3	O
1.29	H	CH=CF$_2$	H	Me	CF_3	O
1.30	H	CH=CF$_2$	H	Me	CF_2H	O
1.31	H	CH=CF$_2$	F	Me	Me	O
1.32	H	CH=CCl$_2$	H	Me	CF_3	O
1.33	H	CH=CCl$_2$	H	Me	CF_2H	O
1.34	H	CH=CCl$_2$	F	Me	Me	O
1.35	H	CH=CBr$_2$	H	Me	CF_3	O
1.36	H	CH=CBr$_2$	H	Me	CF_2H	O

1.37	H	$CH=CBr_2$	F	Me	Me	O
1.38	H	$CF=CF_2$	H	Me	CF_3	O
1.39	H	$CF=CF_2$	H	Me	CF_2H	O
1.40	H	$CF=CF_2$	F	Me	Me	O
1.41	H	$CCl=CH_2$	H	Me	CF_3	O
1.42	H	$CCl=CH_2$	H	Me	CF_2H	O
1.43	H	$CCl=CH_2$	F	Me	Me	O
1.44	H	$CBr=CH_2$	H	Me	CF_3	O
1.45	H	$CBr=CH_2$	H	Me	CF_2H	O
1.46	H	$CBr=CH_2$	F	Me	Me	O
1.47	H	CF=CHF	H	Me	CF_3	O
1.48	H	CF=CHF	H	Me	CF_2H	O
1.49	H	CF=CHF	F	Me	Me	O
1.50	H	$CH=CHSiMe_3$	H	Me	CF_3	O
1.51	H	$CH=CHSiMe_3$	H	Me	CF_2H	O
1.52	H	$CH=CHSiMe_3$	F	Me	Me	O
1.53	H	$CH=CHCF_3$	H	Me	CF_3	O
1.54	H	$CH=CHCF_3$	H	Me	CF_2H	O
1.55	H	$CH=CHCF_3$	F	Me	Me	O
1.56	H	$CH=CClCF_3$	H	Me	CF_3	O
1.57	H	$CH=CClCF_3$	H	Me	CF_2H	O
1.58	H	$CH=CClCF_3$	F	Me	Me	O
1.59	H	$CH_2C::CH$	H	Me	CF_3	O
1.60	H	$CH_2C::CH$	H	Me	CF_2H	O
1.61	H	$CH_2C::CH$	F	Me	Me	O
1.62	H	$CH_2C::CH$	H	CH_2OMe	CF_3	O
1.63	H	$CH_2C::CSiMe_3$	H	Me	CF_3	O
1.64	H	$CH_2C::CSiMe_3$	H	Me	CF_2H	O
1.65	H	$CH_2C::CSiMe_3$	F	Me	Me	O

1.66	H	C::CCMe$_3$	H	Me	CF_3	O
1.67	H	C::CCMe$_3$	H	Me	CF_2H	O
1.68	H	C::CCMe$_3$	F	Me	Me	O
1.69	H	C::CMe	H	Me	CF_3	O
1.70	H	C::CMe	H	Me	CF_2H	O
1.71	H	C::CMe	F	Me	Me	O
1.72	COMe	C::CH	H	Me	CF_3	O
1.73	H	C::CH	H	CF_2H	CF_2H	O
1.74	H	C::CH	H	CF_2H	CF_3	O
1.75	H	C::CH	H	Me	CH_2F	O
1.76	H	C::CSiMe$_3$	H	Me	CH_2F	O
1.77	H	C::C(cyclo)C_3H_5	H	Me	CF_3	O
1.78	H	C::C(cyclo)C_3H_5	H	Me	CHF_2	O
1.79	H	SiMe$_3$	H	Me	CH_2F	O
1.80	H	SiMe$_3$	H	Me	CF_3	O
1.81	H	SiMe$_3$	H	Me	CHF_2	O

Table 2 provides 78 compounds of formula (Ib):



(Ib)

wherein R^1, R^7, R^8, R^9, R^{10} and X are as defined in Table 2.

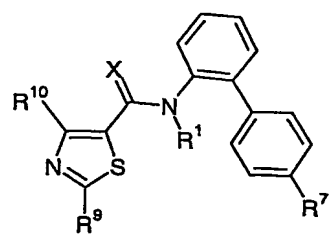
Table 2

Compound No.	R^1	R^7	R^8	R^9	R^{10}	X
2.01	H	C::CH	H	Me	CF_3	O
2.02	H	C::CH	H	Me	CF_3	S
2.03	H	C::CH	H	Me	CF_2H	O
2.04	propargyl	C::CH	H	Me	CF_3	O
2.05	H	C::CH	F	Me	Me	O
2.06	H	C::CH	H	CH_2OMe	CF_3	O
2.07	allenyl	C::CH	H	Me	CF_3	O
2.08	H	$C::CSiMe_3$	H	Me	CF_3	O
2.09	H	$C::CSiMe_3$	H	Me	CF_3	S
2.10	H	$C::CSiMe_3$	H	Me	CF_2H	O
2.11	H	$C::CSiMe_3$	F	Me	Me	O
2.12	H	C::CCl	H	Me	CF_3	O
2.13	H	C::CCl	H	Me	CF_2H	O
2.14	H	C::CCl	F	Me	Me	O
2.15	H	C::CBr	H	Me	CF_3	O
2.16	H	C::CBr	H	Me	CF_2H	O
2.17	H	C::CBr	F	Me	Me	O
2.18	H	$C::CCF_3$	H	Me	CF_3	O
2.19	H	$C::CCF_3$	H	Me	CF_2H	O
2.20	H	$C::CCF_3$	F	Me	Me	O
2.21	allenyl	$C::CCF_3$	H	Me	CF_3	O
2.22	H	$CH=CH_2$	H	Me	CF_3	O
2.23	H	$CH=CH_2$	H	Me	CF_3	S
2.24	H	$CH=CH_2$	H	Me	CF_2H	O
2.25	propargyl	$CH=CH_2$	H	Me	CF_3	O

2.26	H	$CH=CH_2$	F	Me	Me	O
2.27	H	$CH=CH_2$	H	CH_2OMe	CF_3	O
2.28	allenyl	$CH=CH_2$	H	Me	CF_3	O
2.29	H	$CH=CF_2$	H	Me	CF_3	O
2.30	H	$CH=CF_2$	H	Me	CF_2H	O
2.31	H	$CH=CF_2$	F	Me	Me	O
2.32	H	$CH=CCl_2$	H	Me	CF_3	O
2.33	H	$CH=CCl_2$	H	Me	CF_2H	O
2.34	H	$CH=CCl_2$	F	Me	Me	O
2.35	H	$CH=CBr_2$	H	Me	CF_3	O
2.36	H	$CH=CBr_2$	H	Me	CF_2H	O
2.37	H	$CH=CBr_2$	F	Me	Me	O
2.38	H	$CF=CF_2$	H	Me	CF_3	O
2.39	H	$CF=CF_2$	H	Me	CF_2H	O
2.40	H	$CF=CF_2$	F	Me	Me	O
2.41	H	$CCl=CH_2$	H	Me	CF_3	O
2.42	H	$CCl=CH_2$	H	Me	CF_2H	O
2.43	H	$CCl=CH_2$	F	Me	Me	O
2.44	H	$CBr=CH_2$	H	Me	CF_3	O
2.45	H	$CBr=CH_2$	H	Me	CF_2H	O
2.46	H	$CBr=CH_2$	F	Me	Me	O
2.47	H	CF=CHF	H	Me	CF_3	O
2.48	H	CF=CHF	H	Me	CF_2H	O
2.49	H	CF=CHF	F	Me	Me	O
2.50	H	$CH=CHSiMe_3$	H	Me	CF_3	O
2.51	H	$CH=CHSiMe_3$	H	Me	CF_2H	O
2.52	H	$CH=CHSiMe_3$	F	Me	Me	O
2.53	H	$CH=CHCF_3$	H	Me	CF_3	O
2.54	H	$CH=CHCF_3$	H	Me	CF_2H	O
2.55	H	$CH=CHCF_3$	F	Me	Me	O

2.56	H	CH=CClCF$_3$	H	Me	CF$_3$	O
2.57	H	CH=CClCF$_3$	H	Me	CF$_2$H	O
2.58	H	CH=CClCF$_3$	F	Me	Me	O
2.59	H	CH$_2$C::CH	H	Me	CF$_3$	O
2.60	H	CH$_2$C::CH	H	Me	CF$_2$H	O
2.61	H	CH$_2$C::CH	F	Me	Me	O
2.62	H	CH$_2$C::CH	H	CH$_2$OMe	CF$_3$	O
2.63	H	CH$_2$C::CSiMe$_3$	H	Me	CF$_3$	O
2.64	H	CH$_2$C::CSiMe$_3$	H	Me	CF$_2$H	O
2.65	H	CH$_2$C::CSiMe$_3$	F	Me	Me	O
2.66	H	C::CCMe$_3$	H	Me	CF$_3$	O
2.67	H	C::CCMe$_3$	H	Me	CF$_2$H	O
2.68	H	C::CCMe$_3$	F	Me	Me	O
2.69	H	C::CMe	H	Me	CF$_3$	O
2.70	H	C::CMe	H	Me	CF$_2$H	O
2.71	H	C::CMe	F	Me	Me	O
2.72	H	C::CH	H	Me	CH$_2$F	O
2.73	H	C::CSiMe$_3$	H	Me	CH$_2$F	O
2.74	H	C::C(cyclo)C$_3$H$_5$	H	Me	CF$_3$	O
2.75	H	C::C(cyclo)C$_3$H$_5$	H	Me	CHF$_2$	O
2.76	H	SiMe$_3$	H	Me	CH$_2$F	O
2.77	H	SiMe$_3$	H	Me	CF$_3$	O
2.78	H	SiMe$_3$	H	Me	CHF$_2$	O

Table 3 provides 78 compounds of formula (Ic):



(Ic)

wherein R^1, R^7, R^9, R^{10} and X are as defined in Table 3.

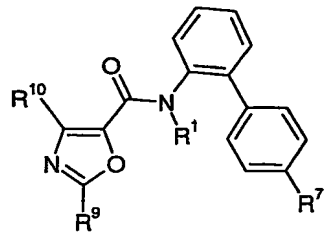
Table 3

Compound No.	R^1	R^7	R^9	R^{10}	X
3.01	H	C::CH	Me	CF_3	O
3.02	H	C::CH	Me	CF_3	S
3.03	H	C::CH	Me	CF_2H	O
3.04	propargyl	C::CH	Me	CF_3	O
3.05	H	C::CH	Me	Me	O
3.06	H	C::CH	CH_2OMe	CF_3	O
3.07	allenyl	C::CH	Me	CF_3	O
3.08	H	C::$CSiMe_3$	Me	CF_3	O
3.09	H	C::$CSiMe_3$	Me	CF_3	S
3.10	H	C::$CSiMe_3$	Me	CF_2H	O
3.11	H	C::$CSiMe_3$	Me	Me	O
3.12	H	C::CCl	Me	CF_3	O
3.13	H	C::CCl	Me	CF_2H	O
3.14	H	C::CCl	Me	Me	O
3.15	H	C::CBr	Me	CF_3	O
3.16	H	C::CBr	Me	CF_2H	O
3.17	H	C::CBr	Me	Me	O
3.18	H	C::CCF_3	Me	CF_3	O
3.19	H	C::CCF_3	Me	CF_2H	O
3.20	H	C::CCF_3	Me	Me	O
3.21	allenyl	C::CCF_3	Me	CF_3	O
3.22	H	$CH=CH_2$	Me	CF_3	O

3.23	H	$CH=CH_2$	Me	CF_3	S
3.24	H	$CH=CH_2$	Me	CF_2H	O
3.25	propargyl	$CH=CH_2$	Me	CF_3	O
3.26	H	$CH=CH_2$	Me	Me	O
3.27	H	$CH=CH_2$	CH_2OMe	CF_3	O
3.28	allenyl	$CH=CH_2$	Me	CF_3	O
3.29	H	$CH=CF_2$	Me	CF_3	O
3.30	H	$CH=CF_2$	Me	CF_2H	O
3.31	H	$CH=CF_2$	Me	Me	O
3.32	H	$CH=CCl_2$	Me	CF_3	O
3.33	H	$CH=CCl_2$	Me	CF_2H	O
3.34	H	$CH=CCl_2$	Me	Me	O
3.35	H	$CH=CBr_2$	Me	CF_3	O
3.36	H	$CH=CBr_2$	Me	CF_2H	O
3.37	H	$CH=CBr_2$	Me	Me	O
3.38	H	$CF=CF_2$	Me	CF_3	O
3.39	H	$CF=CF_2$	Me	CF_2H	O
3.40	H	$CF=CF_2$	Me	Me	O
3.41	H	$CCl=CH_2$	Me	CF_3	O
3.42	H	$CCl=CH_2$	Me	CF_2H	O
3.43	H	$CCl=CH_2$	Me	Me	O
3.44	H	$CBr=CH_2$	Me	CF_3	O
3.45	H	$CBr=CH_2$	Me	CF_2H	O
3.46	H	$CBr=CH_2$	Me	Me	O
3.47	H	CF=CHF	Me	CF_3	O
3.48	H	CF=CHF	Me	CF_2H	O
3.49	H	CF=CHF	Me	Me	O
3.50	H	$CH=CHSiMe_3$	Me	CF_3	O
3.51	H	$CH=CHSiMe_3$	Me	CF_2H	O
3.52	H	$CH=CHSiMe_3$	Me	Me	O

3.53	H	$CH=CHCF_3$	Me	CF_3	O
3.54	H	$CH=CHCF_3$	Me	CF_2H	O
3.55	H	$CH=CHCF_3$	Me	Me	O
3.56	H	$CH=CClCF_3$	Me	CF_3	O
3.57	H	$CH=CClCF_3$	Me	CF_2H	O
3.58	H	$CH=CClCF_3$	Me	Me	O
3.59	H	$CH_2C::CH$	Me	CF_3	O
3.60	H	$CH_2C::CH$	Me	CF_2H	O
3.61	H	$CH_2C::CH$	Me	Me	O
3.62	H	$CH_2C::CH$	CH_2OMe	CF_3	O
3.63	H	$CH_2C::CSiMe_3$	Me	CF_3	O
3.64	H	$CH_2C::CSiMe_3$	Me	CF_2H	O
3.65	H	$CH_2C::CSiMe_3$	Me	Me	O
3.66	H	$C::CCMe_3$	Me	CF_3	O
3.67	H	$C::CCMe_3$	Me	CF_2H	O
3.68	H	$C::CCMe_3$	Me	Me	O
3.69	H	C::CMe	Me	CF_3	O
3.70	H	C::CMe	Me	CF_2H	O
3.71	H	C::CMe	Me	Me	O
3.72	H	$C::CSiMe_3$	CF_3	CF_3	O
3.73	H	C::CH	CF_3	CF_3	O
3.74	H	$C::C(cyclo)C_3H_5$	Me	CF_3	O
3.75	H	$C::C(cyclo)C_3H_5$	H	CHF_2	O
3.76	H	$SiMe_3$	H	CH_2F	O
3.77	H	$SiMe_3$	H	CF_3	O
3.78	H	$SiMe_3$	H	CHF_2	O

Table 4 provides 3 compounds of formula (Id):



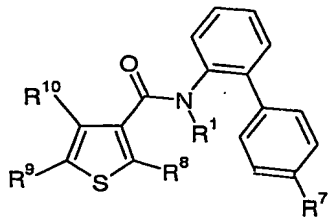
(Id)

wherein R^1, R^7, R^9 and R^{10} are as defined in Table 4.

Table 4

Compound No.	R^1	R^7	R^9	R^{10}
4.01	H	C::CH	Me	CF_3
4.02	H	C::CSiMe$_3$	Me	CF_3
4.03	H	CH=CH$_2$	Me	CF_3

Table 5 provides 15 compounds of formula (Ie):



(Ie)

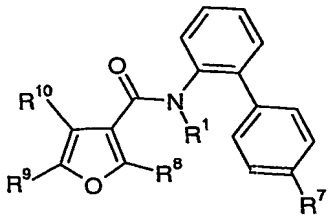
wherein R^1, R^7, R^8, R^9 and R^{10} are as defined in Table 5.

Table 5

Compound No.	R^1	R^7	R^8	R^9	R^{10}
5.01	H	C::CH	H	H	CF_3
5.02	H	C::CH	Me	Me	Me
5.03	H	C::CH	H	Me	CF_3

5.04	H	C::CH	Me	Me	H
5.05	COMe	C::CH	Me	Me	H
5.06	COMe	C::CH	Me	Me	Me
5.07	COEt	C::CH	Me	Me	Me
5.08	H	C::CSiMe$_3$	H	H	CF_3
5.09	H	C::CSiMe$_3$	Me	Me	Me
5.10	H	C::CSiMe$_3$	H	Me	CF_3
5.11	H	C::CSiMe$_3$	Me	Me	H
5.12	H	C::CSiMe$_3$	H	H	CF_3
5.13	H	CH=CH$_2$	Me	Me	Me
5.14	H	CH=CH$_2$	H	Me	CF_3
5.15	H	CH=CH$_2$	Me	Me	H

Table 6 provides 15 compounds of formula (If):



(If)

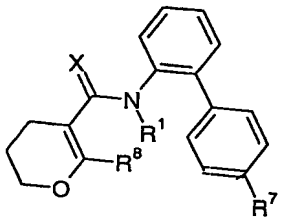
wherein R^1, R^7, R^8, R^9 and R^{10} are as defined in Table 6.

Table 6

Compound No.	R^1	R^7	R^8	R^9	R^{10}
6.01	H	C::CH	H	H	CF_3
6.02	H	C::CH	Me	Me	Me
6.03	H	C::CH	H	Me	CF_3
6.04	H	C::CH	Me	Me	H

6.05	COMe	C::CH	Me	Me	H
6.06	COMe	C::CH	Me	Me	Me
6.07	COEt	C::CH	Me	Me	Me
6.08	H	C::CSiMe$_3$	H	H	CF$_3$
6.09	H	C::CSiMe$_3$	Me	Me	Me
6.10	H	C::CSiMe$_3$	H	Me	CF$_3$
6.11	H	C::CSiMe$_3$	Me	Me	H
6.12	H	C::CSiMe$_3$	H	H	CF$_3$
6.13	H	CH=CH$_2$	Me	Me	Me
6.14	H	CH=CH$_2$	H	Me	CF$_3$
6.15	H	CH=CH$_2$	Me	Me	H

Table 7 provides 10 compounds of formula (Ig):



(Ig)

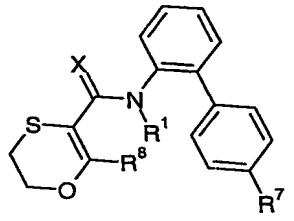
wherein R^1, R^7, R^8 and X are as defined in Table 7.

Table 7

Compound No.	R^1	R^7	R^8	X
7.01	H	C::CH	CF$_3$	O
7.02	H	C::CH	Me	O
7.03	H	C::CH	CF$_3$	S
7.04	COMe	C::CH	Me	O
7.05	H	C::CSiMe$_3$	CF$_3$	O

7.06	H	C::CSiMe$_3$	Me	O
7.07	H	CH=CH$_2$	CF$_3$	O
7.08	H	CH=CH$_2$	CF$_3$	O
7.09	propargyl	CH=CH$_2$	Me	O
7.10	allenyl	CH=CH$_2$	Me	O

Table 8 provides 10 compounds of formula (Ih):



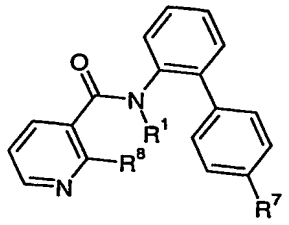
(Ih)

wherein R^1, R^7, R^8 and X are as defined in Table 8.

Table 8

Compound No.	R^1	R^7	R^8	X
8.01	H	C::CH	CF$_3$	O
8.02	H	C::CH	Me	O
8.03	H	C::CH	CF$_3$	S
8.04	COMe	C::CH	Me	O
8.05	H	C::CSiMe$_3$	CF$_3$	O
8.06	H	C::CSiMe$_3$	Me	O
8.07	H	CH=CH$_2$	CF$_3$	O
8.08	H	CH=CH$_2$	CF$_3$	O
8.09	propargyl	CH=CH$_2$	Me	O
8.10	allenyl	CH=CH$_2$	Me	O

Table 9 provides 59 compounds of formula (Ii):



(Ii)

wherein R^1, R^7 and R^8 are as defined in Table 9.

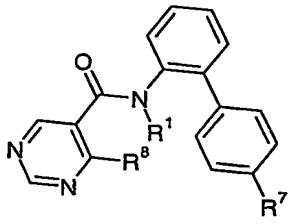
Table 9

Compound No.	R^1	R^7	R^8
9.01	H	C::CH	Cl
9.02	H	C::CH	CF_3
9.03	COMe	C::CH	Cl
9.04	H	C::CH	Br
9.05	$COCH_2OMe$	C::CH	Cl
9.06	H	$C::CSiMe_3$	Cl
9.07	H	$C::CSiMe_3$	CF_3
9.08	H	$C::CSiMe_3$	Br
9.09	H	$CH=CH_2$	CF_3
9.10	H	$CH=CH_2$	Br
9.11	H	$CH=CH_2$	Cl
9.12	H	$CH=CH_2$	CH_3
9.13	propargyl	$CH=CH_2$	Cl
9.14	allenyl	$CH=CH_2$	Cl
9.15	H	C::CCl	Cl
9.16	H	C::CCl	CF_3
9.17	H	C::CCl	Br

9.18	H	C::CBr	Cl
9.19	H	C::CBr	CF_3
9.20	H	C::CBr	Br
9.21	H	C::CCF_3	Cl
9.22	H	C::CCF_3	CF_3
9.23	H	C::CCF_3	Br
9.24	H	$CH=CF_2$	CF_3
9.25	H	$CH=CF_2$	Br
9.26	H	$CH=CF_2$	Cl
9.27	H	$CCl=CH_2$	CF_3
9.28	H	$CCl=CH_2$	Br
9.29	H	$CCl=CH_2$	Cl
9.30	H	$CBr=CH_2$	CF_3
9.31	H	$CBr=CH_2$	Br
9.32	H	$CBr=CH_2$	Cl
9.33	H	CF=CHF	CF_3
9.34	H	CF=CHF	Br
9.35	H	CF=CHF	Cl
9.36	H	$CH=CHCF_3$	CF_3
9.37	H	$CH=CHCF_3$	Br
9.38	H	$CH=CHCF_3$	Cl
9.39	H	$CH=CClCF_3$	CF_3
9.40	H	$CH=CClCF_3$	Br
9.41	H	$CH=CClCF_3$	Cl
9.42	H	CH_2C::CH	CF_3
9.43	H	CH_2C::CH	Br
9.44	H	CH_2C::CH	Cl
9.45	H	CH_2C::$CSiMe_3$	CF_3
9.46	H	CH_2C::$CSiMe_3$	Br

9.47	H	$CH_2C::CSiMe_3$	Cl
9.48	H	C::CMe	CF_3
9.49	H	C::CMe	Br
9.50	H	C::CMe	Cl
9.51	H	$CH=CCl_2$	CF_3
9.52	H	$CH=CCl_2$	Br
9.53	H	$CH=CCl_2$	Cl
9.54	H	$CH=CHSiMe_3$	CF_3
9.55	H	$CH=CHSiMe_3$	Br
9.56	H	$CH=CHSiMe_3$	Cl
9.57	H	$C::CcycloC_3H_5$	Cl
9.58	H	$SiMe_3$	Cl
9.59	H	$C::CCMe_3$	Cl

Table 10 provides 14 compounds of formula (Ij):



(Ij)

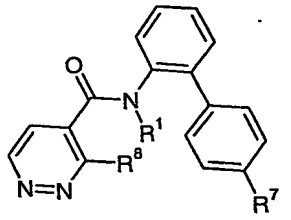
wherein R^1, R^7 and R^8 are as defined in Table 10.

Table 10

Compound No.	R^1	R^7	R^8
10.01	H	C::CH	Cl
10.02	H	C::CH	CF_3
10.03	COMe	C::CH	Cl

10.04	H	C::CH	Br
10.05	$COCH_2OMe$	C::CH	Cl
10.06	H	$C::CSiMe_3$	Cl
10.07	H	$C::CSiMe_3$	CF_3
10.08	H	$C::CSiMe_3$	Br
10.09	H	$CH=CH_2$	CF_3
10.10	H	$CH=CH_2$	Br
10.11	H	$CH=CH_2$	Cl
10.12	H	$CH=CH_2$	CH_3
10.13	propargyl	$CH=CH_2$	Cl
10.14	allenyl	$CH=CH_2$	Cl

Table 11 provides 14 compounds of formula (Ik):



(Ik)

wherein R^1, R^7 and R^8 are as defined in Table 11.

Table 11

Compound No.	R^1	R^7	R^8
11.01	H	C::CH	Cl
11.02	H	C::CH	CF_3
11.03	COMe	C::CH	Cl
11.04	H	C::CH	Br

11.05	$COCH_2OMe$	C::CH	Cl
11.06	H	$C::CSiMe_3$	Cl
11.07	H	$C::CSiMe_3$	CF_3
11.08	H	$C::CSiMe_3$	Br
11.09	H	$CH=CH_2$	CF_3
11.10	H	$CH=CH_2$	Br
11.11	H	$CH=CH_2$	Cl
11.12	H	$CH=CH_2$	CH_3
11.13	propargyl	$CH=CH_2$	Cl
11.14	allenyl	$CH=CH_2$	Cl

Table 12 provides 18 compounds of formula (II) where R^2, R^3, R^4 and R^5 are each hydrogen; n is 0; and R^1 and R^7 are as defined in Table 12.

Table 12

Compound Number	R^1	R^7
12.01	H	C::CH
12.02	H	$C::CSiMe_3$
12.03	H	$C::CCF_3$
12.04	H	C::CCl
12.05	H	$CH=CH_2$
12.06	H	$CH=CF_2$
12.07	H	$CH=CCl_2$
12.08	H	$CH=CBr_2$
12.09	H	$CF=CF_2$
12.10	H	$CCl=CH_2$
12.11	H	CF=CHF
12.12	H	$CH=CHCF_3$

12.13	H	$CH=CClCF_3$
12.14	H	$CH_2C::CH$
12.15	H	$C::CCMe_3$
12.16	CHO	C::CMe
12.17	H	$C::C(cyclo)C_3H_5$
12.18	H	$SiMe_3$

Table 13 provides 1 compound of formula (III) where R^2, R^3, R^4 and R^5 are each hydrogen; n is 0; and Hal and R^7 are as defined in Table 13.

Table 13

Compound Number	R^7	Hal
13.01	C::CH	Br

Throughout this description, temperatures are given in degrees Celsius; "NMR" means nuclear magnetic resonance spectrum; MS stands for mass spectrum; M^+-1 or M^++1 are signals in the mass spectrum respectively corresponding to the molecular weight minus 1 or the molecular weight plus 1; and "%" is percent by weight, unless corresponding concentrations are indicated in other units.

The following abbreviations are used throughout this description:

m.p. = melting point
b.p.= boiling point.
s = singlet
br = broad
d = doublet
dd = doublet of doublets
t = triplet
q = quartet
m = multiplet
ppm = parts per million

Table 14 shows selected melting point, selected molecular ion and selected NMR data, all with $CDCl_3$ as the solvent (unless otherwise stated; if a mixture of solvents is present, this is indicated as, for example, ($CDCl_3$ / d_6-DMSO)), (no attempt is made to list all

characterising data in all cases) for compounds of Tables 1 to 13. Unless otherwise stated, the data relate to a cis/trans mixture of each compound.

Table 14

Compound Number	^{1}H-NMR data: (ppm/multiplicity/number of Hs) or MS-data	m.p. / (°C)
1.01		169-170
1.03		132-135
1.08		147-150
1.10		>200
1.22		184-187
1.24		137-141
1.32		173-176
1.33		147-150
1.66		139-143
1.67	406 (M^+-1)	amorphous
1.69	382 (M^+-1)	>200
1.70	364 (M^+-1)	>200
2.01		145-148
2.08		148-154
2.66		160-165
3.01		145-147
3.66		129-134
3.69		159-163
9.01		150-152
9.50		157-159
9.59		123-125
12.01		111-115
12.02	0.05(s,9); 6.5-6.7(d+t,2); 6.8-7.1(t+t,2); 7.2-7.5(m,4)	
12.07	3.8(br,2); 6.8(d,1); 6.85(t,1); 6.9(s,1); 7.1-7.2(d+t,2);	

	7.45-7.65(m,4)	
12.15		66-69
12.16		91-96

The compounds according to the present invention may be prepared according to the following reaction schemes, in which, unless otherwise stated, the definition of each variable is as defined above for a compound of formula (I).

There are a number of alternative methods for preparing a compound of formula (I).

Method A

A compound of formula (I) may be prepared by reacting a compound of formula (II) with a compound of formula Het-C(=O)OR' [where R' is C_{1-5} alkyl] in the presence of strong base [for example NaH or sodium hexamethyldisilazane], in a dry polar solvent [preferably THF] and at a temperature between –10°C and the boiling point of the solvent [preferably at ambient temperature]. The article by J.Wang et al, Synlett 2001,1485 provides details of analogous preparations.

Method B

A compound of formula (I) may be prepared by reacting a compound of formula (II) with a compound of formula Het-C(=O)R'' [where R'' is OH or a leaving group, such as Cl, Br, F or $OC(=O)C_{1-4}$ alkyl] in an inert organic solvent [such as ethylacetate, dichloromethane, dioxane or DMF] and at a temperature between –10°C and the boiling point of the solvent [preferably at ambient temperature]. If R" is OH, the reaction is carried out in the presence of an activating agent [for example BOP-Cl] and two equivalents of a base [such as a tertiary amine, an inorganic carbonate or a hydrogen carbonate]. Alternatively, if R" is a leaving group, the reaction is carried out in the presence of at least one equivalent of base [such as pyridine, a tertiary amine, an inorganic carbonate or a hydrogen carbonate].

Method C

A compound of formula (I) [where R^1 is as defined above but is not hydrogen] may be prepared by reacting a compound of formula (I) [where R^1 is hydrogen] with a compound of formula R^1-L^1 [where R^1 is as defined above but is not hydrogen; and L^1 is a leaving group

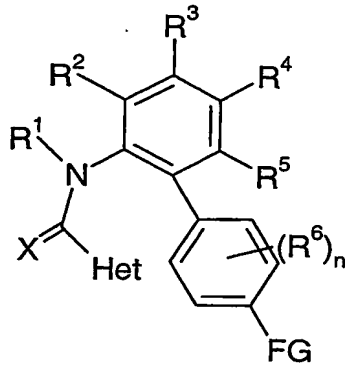
such as Cl, Br, I, a sulfonate (for example a mesylate or a tosylate) or $OC(O)C_{1-4}$ alkyl] in a solvent [such as a halogenated solvent (for example dichloromethane), an ether, ethylacetate, DMF or even water (as a biphasic mixture, optionally in the presence of a phase transfer catalyst such as tetrabutylammonium hydrogensulfate)] and in the presence of a base [such as a tertiary amine, an alkali carbonate, an alkali bicarbonate, an alkali hydroxide or NaH; though if L^1 is $O(CO).C_{1-4}$ alkyl then simply heating without base is possible].

Method D

A compound of formula (I) may be prepared by reacting a compound of formula (III) [where Hal is preferably bromo or iodo] with a compound of formula Het-$C(=O)NH_2$ in the presence of a Cu(I) compound and an aprotic solvent [such as a cyclic ether, for example dioxane] at an elevated temperature and preferably at reflux . The preferred conditions are CuI used at 2% to 100%mole/mole, relative to the compound of formula (III), in the presence of a 1,2-diamine as a ligand-forming substance (such as 1,2-diamino cyclohexane or ethylene diamine) and at least 1 equivalent of a base (such as an alkali carbonate or an alkali phosphate. The article by A.Klapars et al. J.Am.Chem.Soc. 123,7727 (2001) provides details of analogous preparations.

Method E

A compound of formula (I) may be prepared by conversion of a compound of formula (IV)



(IV)

[where FG is a functional group which is convertible to R^7 in one or more synthetic steps]. Functional group interconversions are standard procedures for a person skilled in the art.

There are many methods described in the literature, which can be used as such or with modifications according to the functionalities present; Table A gives literature references (some of which also cite further appropriate references) which are specifically relevant to the preparation of a compound of formula (I) by the interconversion of FG to R^7.

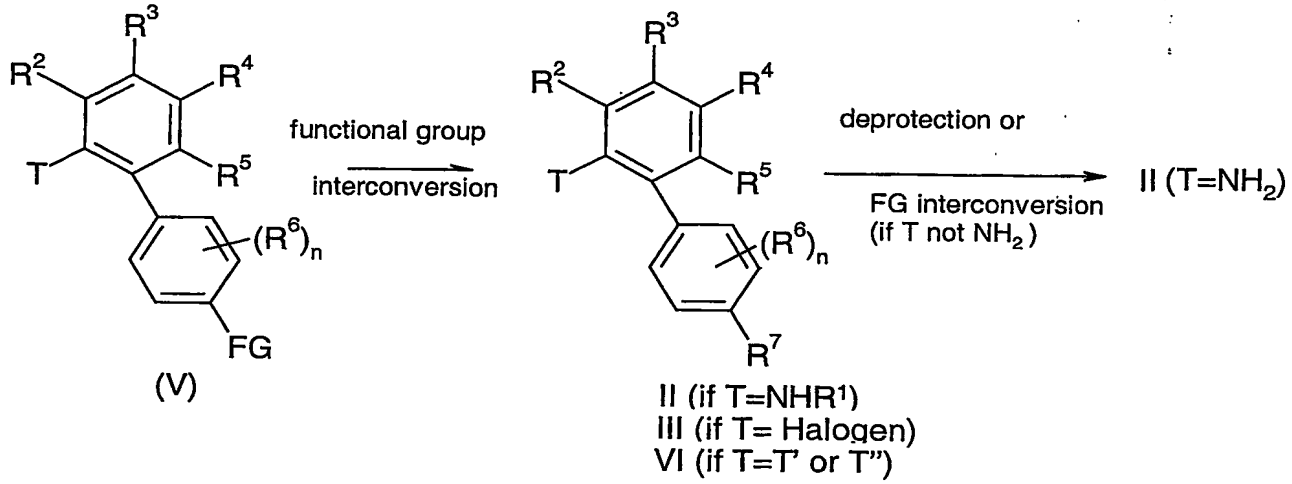
Table A

Reference	FG	R^7
Synthesis 2001, 2081 Tetrahedron 58, 1491 (2002)	CHO	$CH=CBr_2$ CH=CHBr C::CBr
Russ.Chem. Bull. 50 (6), 1047 (2001)	CHO	$CH=CCl_2$
Tetrahedron 57, 7519 (2001)	CHO	$CH=CClCF_3$ $CH=CFCF_2Cl$
J. Chem.Soc.Perkin 1 2002, 883	$COCH_3$	$C(CH_3)=CHBr$ $C(CH_3)=CCl_2$
Tetrahedron Letters 41, 8045 (2000) J.Org.Chem. 62, 9217 (1997)	Hal	CF=CHF
Tetrahedron Letters 37,8799 (1996)	Hal	$CH=CF_2$
JP 09278688 J.Fluorine Chem. 31, 115 (1986)	Hal	$CF=CF_2$
Zh.Org.Khim. 25, 1451 (1989)	Hal	CF=CFCl
J.Org.Chem. 53, 2714 (1988))	Hal	$CF=CFCF_3$
Ukr.Khim.Zh. 32, 996 (1966)	$CHBrCH_2CF_3$	$CH=CHCF_3$
Bull.Chem.Soc.Jap. 62,1352	$CH=CClCF_3$ $CH=CFCF_2Cl$	$C::CCF_3$ $C::CCF_3$
J.Org.Chem. 54, 5856 (1989) J.Am.Chem.Soc. 109,2138 (1987) Tetrahedron 45,6511 (1989) J.Orgmet.Chem.549,127 (1997)	Hal or triflate	C::CH $C::CSiMe_3$ $C::CCH_3$ $C::CCMe_3$
J.Org.Chem. 32, 1674 (1967)	$C::CCH_3$	$CH_2C::CH$

Synth.Comm.1989,561	CHO	C::CH
WO 01 092563	CHO	$CH=CH_2$
J.Am.Chem.Soc. 123,4155 (2001) Org.Lett. 2,3703 (2000) J.Org.Chem. 57,3558 (1992) Synthesis 2001,893	Hal or triflate	$CH=CH_2$
GB 2 183 639	C::CH	$CH=CH_2$
Synthesis 1996, 1494 J.Org.Chem.49, 294 (1984)	CHO	C::CCl C::CH C::CBr

There are a number of alternative methods for preparing a compound of formula (II), (III) or (IV).

Method F – preparation of a compound of formula (II) or (III).



A compound of formula (II), (III) or (VI) may be prepared, by functional group interconversion, from a compound of formula (V) [where FG is as defined above for a compound of formula (IV) and T is either halogen, amino, NHR^1, a protected amino group T' (for example a carbamate, an amide, a cyclic imide, an N-alkyl-, N-alkenyl-, N-benzyl-,

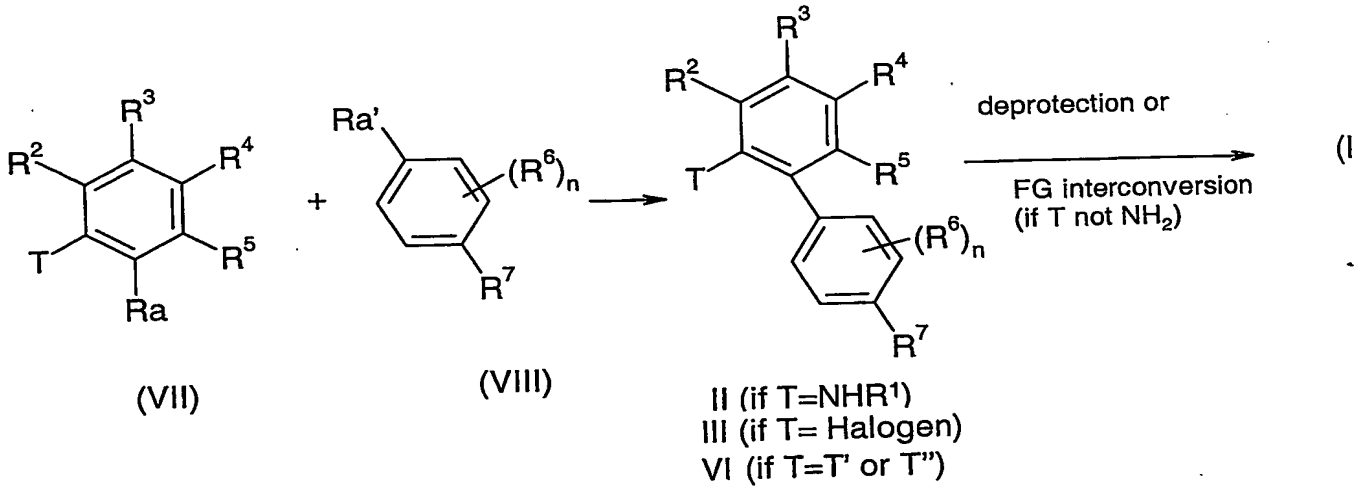
N-diphenylmethyl- or N-trityl-derivative, an imine derivative or an N-silyl- or N-disilyl-derivative) or a group T'' (that is, a group which may be converted to NH_2 or NHR^1 by applying synthetic methodology described in the literature; T'' being preferably azido, nitro, halogen, triflate, $CONH_2$, COOH, COCl or NCO)]. Starting from a compound of formula (V) the functional group FG may be converted to R^7 by applying a method analogous to method E above. This conversion leads directly to a compound of formula (II) [when T is NHR_1], to a compound of formula (II) [when T is halogen (preferably chloro, bromo or iodo)] or to a compound of formula (VI) [when T is T' or T'']].

In a second step a compound of formula (VI) or (II) [when R^1 is other than H] can be converted to a compound of formula (II) [where R^1 is H] by either applying the methods [that is, deprotection or conversion of T'' to NH_2] as generically described above.

Examples of versatile values for T' plus methods for deprotection are given in T.W.Green and P.Wuts, Protective Groups in Organic Synthesis, 3rd edition (John Wiley & Sons 1999), Chapter 7.

Compilations of useful values for T'' plus literature to convert T'' into NH_2, T' or NHR^1 can be found in M.B. Smith, Compendium of Organic Synthetic Methods, Vols. 1-10, Chapter 7 (Wiley, Vol .10: 2002).

Method G



A compound of formula (II), (III) or (VI) may be prepared by a coupling reaction between a compound of formula (VII) and a compound of formula (VIII) [where Ra and Ra' are each, independently, halogen (preferably Cl, Br or I), triflate or a metal-containing functionality containing, for example, B, Sn, Mg, Zn or Cu as the metal; examples are $B(OH)_2$, esters of boronic acid (preferably esters derived from 1,2- or 1,3-diols), trialkyltin (preferably $Sn(CH_3)_3$ or $Sn(nBu)_3$), a halogen salt of Mg , a halogen salt of Zn or Cu. If either Ra or Ra' is a metal containing functionality, the other substituent must be halogen or triflate.

Such coupling reactions are widely known in the literature. Especially suitable are the Pd(0) ,Ni(0), or copper catalysed couplings which are well known to the person skilled in the art as Stille coupling, Suzuki coupling, Negishi coupling or Ullmann reaction. A comprehensive review of these reactions can be found in Metal-Catalysed Cross-Coupling Reactions; F.Diederich and P.Stang (eds.); Wiley-VCH; Weinheim 1998.

In a second step a compound of formula (VI) or (II) [when R^1 is other than H] can be converted to a compound of formula (II) [where R^1 is H] by either applying the methods [that is, deprotection or conversion of T'' to NH_2] as generically described above.

Surprisingly, it has now been found that the novel compounds of formula (I) have, for practical purposes, a very advantageous spectrum of activities for protecting plants against diseases that are caused by fungi as well as by bacteria and viruses.

The compounds of formula (I) can be used in the agricultural sector and related fields of use as active ingredients for controlling plant pests. The novel compounds are distinguished by excellent activity at low rates of application, by being well tolerated by plants and by being environmentally safe. They have very useful curative, preventive and systemic properties and are used for protecting numerous cultivated plants. The compounds of formula I can be used to inhibit or destroy the pests that occur on plants or parts of plants (fruit, blossoms, leaves, stems, tubers, roots) of different crops of useful plants, while at the same time protecting also those parts of the plants that grow later e.g. from phytopathogenic microorganisms.

It is also possible to use compounds of formula (I) as dressing agents for the treatment of plant propagation material, in particular of seeds (fruit, tubers, grains) and plant cuttings (e.g. rice), for the protection against fungal infections as well as against phytopathogenic fungi occurring in the soil.

Furthermore the compounds according to present invention may be used for controlling fungi in related areas, for example in the protection of technical materials, including wood and wood related technical products, in food storage, in hygiene management, etc.

The compounds of formula (I) are, for example, effective against the phytopathogenic fungi of the following classes: Fungi imperfecti (e.g. Botrytis, Pyricularia, Helminthosporium, Fusarium, Septoria, Cercospora and Alternaria) and Basidiomycetes (e.g. Rhizoctonia, Hemileia, Puccinia). Additionally, they are also effective against the Ascomycetes classes (e.g. Venturia and Erysiphe, Podosphaera, Monilinia, Uncinula) and of the Oomycetes classes (e.g. Phytophthora, Pythium, Plasmopara). Outstanding activity has been observed against powdery mildew (Erysiphe spp.) and rust (Puccinia spp.). Furthermore, the novel compounds of formula I are effective against phytopathogenic bacteria and viruses (e.g. against Xanthomonas spp, Pseudomonas spp, Erwinia amylovora as well as against the tobacco mosaic virus).

Within the scope of present invention, target crops to be protected typically comprise the following species of plants: cereal (wheat, barley, rye, oat, rice, maize, sorghum and related species); beet (sugar beet and fodder beet); pomes, drupes and soft fruit (apples, pears, plums, peaches, almonds, cherries, strawberries, raspberries and blackberries); leguminous plants (beans, lentils, peas, soybeans); oil plants (rape, mustard, poppy, olives, sunflowers, coconut, castor oil plants, cocoa beans, groundnuts); cucumber plants (pumpkins, cucumbers, melons); fibre plants (cotton, flax, hemp, jute); citrus fruit (oranges, lemons, grapefruit, mandarins); vegetables (spinach, lettuce, asparagus, cabbages, carrots, onions, tomatoes, potatoes, paprika); lauraceae (avocado, cinnamomum, camphor) or plants such as tobacco, nuts, coffee, eggplants, sugar cane, tea, pepper, vines, hops, bananas and natural rubber plants, as well as ornamentals.

The compounds of formula (I) are used in unmodified form or, preferably, together with the adjuvants conventionally employed in the art of formulation. To this end they are conveniently formulated in known manner to emulsifiable concentrates, coatable pastes, directly sprayable or dilutable solutions, dilute emulsions, wettable powders, soluble powders, dusts, granulates, and also encapsulations e.g. in polymeric substances. As with the type of the compositions, the methods of application, such as spraying, atomising, dusting, scattering, coating or pouring, are chosen in accordance with the intended objectives and the prevailing

circumstances. The compositions may also contain further adjuvants such as stabilizers, antifoams, viscosity regulators, binders or tackifiers as well as fertilizers, micronutrient donors or other formulations for obtaining special effects.

Suitable carriers and adjuvants can be solid or liquid and are substances useful in formulation technology, e.g. natural or regenerated mineral substances, solvents, dispersants, wetting agents, tackifiers, thickeners, binders or fertilizers. Such carriers are for example described in WO 97/33890.

The compounds of formula (I) are normally used in the form of compositions and can be applied to the crop area or plant to be treated, simultaneously or in succession with further compounds. These further compounds can be e.g. fertilizers or micronutrient donors or other preparations which influence the growth of plants. They can also be selective herbicides as well as insecticides, fungicides, bactericides, nematicides, molluscicides or mixtures of several of these preparations, if desired together with further carriers, surfactants or application promoting adjuvants customarily employed in the art of formulation.

The compounds of formula (I) can be mixed with other fungicides, resulting in some cases in unexpected synergistic activities. Mixing components which are particularly preferred are azoles, such as azaconazole, BAY 14120, bitertanol, bromuconazole, cyproconazole, difenoconazole, diniconazole, epoxiconazole, fenbuconazole, fluquinconazole, flusilazole, flutriafol, hexaconazole, imazalil, imibenconazole, ipconazole, metconazole, myclobutanil, pefurazoate, penconazole, pyrifenox, prochloraz, propiconazole, simeconazole, tebuconazole, tetraconazole, triadimefon, triadimenol, triflumizole, triticonazole; pyrimidinyl carbinole, such as ancymidol, fenarimol, nuarimol; 2-amino-pyrimidines, such as bupirimate, dimethirimol, ethirimol; morpholines, such as dodemorph, fenpropidine, fenpropimorph, spiroxamine, tridemorph; anilinopyrimidines, such as cyprodinil, mepanipyrim, pyrimethanil; pyrroles, such as fenpiclonil, fludioxonil; phenylamides, such as benalaxyl, furalaxyl, metalaxyl, R-metalaxyl, ofurace, oxadixyl; benzimidazoles, such as benomyl, carbendazim, debacarb, fuberidazole, thiabendazole; dicarboximides, such as chlozolinate, dichlozoline, iprodione, myclozoline, procymidone, vinclozoline; carboxamides, such as carboxin, fenfuram, flutolanil, mepronil, oxycarboxin, thifluzamide; guanidines, such as guazatine, dodine, iminoctadine; strobilurines, such as azoxystrobin, kresoxim-methyl, metominostrobin, SSF-129, trifloxystrobin, picoxystrobin, BAS 500F (proposed name pyraclostrobin), BAS

520; dithiocarbamates, such as ferbam, mancozeb, maneb, metiram, propineb, thiram, zineb, ziram; N-halomethylthiotetrahydrophthalimides, such as captafol, captan, dichlofluanid, fluoromides, folpet, tolyfluanid; Cu-compounds, such as Bordeaux mixture, copper hydroxide, copper oxychloride, copper sulfate, cuprous oxide, mancopper, oxine-copper; nitrophenol-derivatives, such as dinocap, nitrothal-isopropyl; organo-p-derivatives, such as edifenphos, iprobenphos, isoprothiolane, phosdiphen, pyrazophos, tolclofos-methyl; various others, such as acibenzolar-S-methyl, anilazine, benthiavalicarb, blasticidin-S, chinomethionate, chloroneb, chlorothalonil, cyflufenamid, cymoxanil, dichlone, diclomezine, dicloran, diethofencarb, dimethomorph, SYP-LI90 (proposed name: flumorph), dithianon, ethaboxam, etridiazole, famoxadone, fenamidone, fenoxanil, fentin, ferimzone, fluazinam, flusulfamide, fenhexamid, fosetyl-aluminium, hymexazol, iprovalicarb, IKF-916 (cyazofamid), kasugamycin, methasulfocarb, metrafenone, nicobifen, pencycuron, phthalide, polyoxins, probenazole, propamocarb, pyroquilon, quinoxyfen, quintozene, sulfur, triazoxide, tricyclazole, triforine, validamycin, zoxamide (RH7281).

A preferred method of applying a compound of formula (I), or an agrochemical composition which contains at least one of said compounds, is foliar application. The frequency of application and the rate of application will depend on the risk of infestation by the corresponding pathogen. However, the compounds of formula I can also penetrate the plant through the roots via the soil (systemic action) by drenching the locus of the plant with a liquid formulation, or by applying the compounds in solid form to the soil, e.g. in granular form (soil application). In crops of water rice such granulates can be applied to the flooded rice field. The compounds of formula I may also be applied to seeds (coating) by impregnating the seeds or tubers either with a liquid formulation of the fungicide or coating them with a solid formulation.

A formulation [that is, a composition containing the compound of formula (I)] and, if desired, a solid or liquid adjuvant, is prepared in a known manner, typically by intimately mixing and/or grinding the compound with extenders, for example solvents, solid carriers and, optionally, surface active compounds (surfactants).

The agrochemical formulations will usually contain from 0.1 to 99% by weight, preferably from 0.1 to 95% by weight, of the compound of formula I, 99.9 to 1% by weight,

preferably 99.8 to 5% by weight, of a solid or liquid adjuvant, and from 0 to 25% by weight, preferably from 0.1 to 25% by weight, of a surfactant.

Advantageous rates of application are normally from 5g to 2kg of active ingredient (a.i.) per hectare (ha), preferably from 10g to 1kg a.i./ha, most preferably from 20g to 600g a.i./ha. When used as seed drenching agent, convenient dosages are from 10mg to 1g of active substance per kg of seeds.

Whereas it is preferred to formulate commercial products as concentrates, the end user will normally use dilute formulations.

The following non-limiting Examples illustrate the above-described invention in more detail.

EXAMPLE 1

This Example illustrates the preparation of Compound No. 1.01.

2-Amino-4'-ethinyl-biphenyl (0.30g) and 1-methyl-3-trifluoromethyl-4-chlorocarbonyl-pyrazol (0.33g) were combined in THF under cooling with ice and then pyridine (0.12ml) was added. After warming to ambient temperature the suspension was stirred for 3.5hours, poured into water and extracted twice with ethylacetate. Separation of the organic phase, drying with sodium sulfate and evaporation of the solvent and chromatographic purification on silica gel (solvent: hexane:ethylacetate 2:1) yielded 0.4g (70.2%) of Compound No. 1.01.

EXAMPLE 2

This Example illustrates the preparation of Compound No. 2.01.

To 1-methyl-3-trifluoromethyl-4-pyrrol carboxylic acid (0.22g) dissolved in 10ml dichloromethane were added triethylamine (0.32ml) and 2-amino-4'-trimethylsilylethinyl-biphenyl (0.3g) and finally, under cooling with ice, bis(2-oxo-3-oxazolidinyl) chlorophosphinic acid (0.29g). After stirring for 18hours the solvents were removed under reduced pressure and the residue was taken up with ethylacetate. Washing with water and brine, drying with sodiumsulfate and evaporation of the solvent yielded 0.45g of a yellow oil which was chromatographed on silica gel (eluent: hexane:ethylacetate 2:1) to yield 0.13g (26%) of Compound No. 2.01.

EXAMPLE 3

This Example illustrates the preparation of Compound No. 1.72.

To NaH (46mg) in 5ml dry THF at 0-5°C was added 2-N-formylamino-4'-(propin-1-yl)-biphenyl (0.3g) in 10ml dry THF. The reaction was kept at this temperature for 1hour and afterwards 1-methyl-3-trifluoromethyl-4-chlorocarbonyl-pyrazol (0.372g) was added. The resultant suspension was stirred at room temperature overnight, poured into brine and extracted with ethylacetate. The solvent was evaporated and the the residue was taken into methanol and sodiummethylate (10mg) was added. After 30minutes the mixture was neutralised with diluted HCl, extracted with ethylacetate and washed until neutral. Chromatographic purification on silica gel (eluent: ethylacetate:hexane 1:2) and recrystallisation from toluene:hexane (4:1) yielded 0.169g of Compound No. 1.72.

EXAMPLE 4

This Example illustrates the preparation of 2- amino-4'-(trimethylsilyl)ethinyl-biphenyl (Compound No.12.02) and 2-amino-4'-ethinyl-biphenyl (Compound No.12.01) using a preparation according to Method F above.

To 2.5g 2-amino-4'-bromo-biphenyl (WO0264562) in piperidine (25ml) under nitrogen were added in sequence CuI (0.1g), bis(triphenylphosphino)palladium dichloride (0.35g) and trimethylsilylacetylene (2.8ml). The mixture was stirred for 22hours at room temperature and for a further 26hours at 60°C. After cooling the reaction mixture was diluted with water and extracted with ethylacetate. Then the organic phase was washed with water and dried over sodium sulfate. After evaporation of the solvents in vacuum the mixture was chromatographed on silica gel (hexane:ethylacetate 9:1) to yield 2- amino-4'-(trimethylsilyl)ethinyl-biphenyl (2g) (Compound No.12.02).

1.4g of this compound was dissolved in methanol (40ml) and potassium carbonate (0.9g) was added with cooling. The resultant suspension was stirred for 2hours, poured on ice-water and the precipitate formed was filtered off, washed thoroughly with water and dried to obtain 2-amino-4'-ethinyl-biphenyl (0.9g) (Compound No.12.01) as light tan crystals.

EXAMPLE 5

This Example illustrates the preparation of 2-N-formylamino-4'-(propin-1-yl)-biphenyl (Compound No.12.16)

N-formylamino-4'bromo-biphenyl (3.5g) (J.Chem.Soc. 1957, 4), tributyltin(propinyl-1) (5g) (commercial from Aldrich), tetrakis(triphenylphosphine)palladium (0.37g) were combined in toluene (200ml) under nitrogen and heated to reflux for 16hours. The resultant dark mixture was diluted with water and extracted with ethyl acetate. The organic phase was washed with water, dried over sodium sulfate and the solvents were evaporated at reduced pressure. The residue was taken into acetonitrile and washed repeatedly with hexane. After removal of the acetonitrile at reduced pressure and chromatography of the residue with silicagel (eluent:hexane ethylacetate 2:1) 2-N-formylamino-4'-(propin-1-yl)-biphenyl (Compound No.12.16) (1.57g) was obtained as a light yellow powder.

EXAMPLE 6

This Example illustrates the preparation of 2-amino-4'(2,2-dichloro)ethylene-biphenyl (Compound No.12.07).

a) Preparation of 2-nitro-4'(2,2-dichloro)ethylene-biphenyl.

To 2-nitro-4'formyl-biphenyl (2g) (WO 95 03290) (prepared by Pd-catalysed coupling of 2-bromonnitrobenzene with 4-formyl-phenyl-boronic acid) in ethanol (70ml) was added hydrazine hydrate (95%) (1.32g) and the resultant mixture was then refluxed for 5hours. The solvent was evaporated to dryness under reduced pressure, the residue was suspended in DMSO (30ml) and then ammonia (25%) (3ml) and freshly prepared CuCl (80mg) were sequentially added and finally tetrachlorometane (3.8g) was dropped in under cooling with water. The mixture was stirred at room temperature for 24hours and the resultant green suspension was poured into water, extracted with dichloromethane, washed with water and dried over sodium sulfate. Evaporation of the solvent and chromatography of the residue over silicagel (eluent:hexane:ethylacetate 4:1) yielded 2-nitro-4'(2,2-dichloro)ethylene-biphenyl (0.8g), m.p. 58-59°C.

b) Preparation of 2-amino-4'(2,2-dichloro)ethylene-biphenyl.

2-Nitro-4'(2,2-dichloro)ethylene-biphenyl (0.76g) from step (a) was dissolved in 50% ethanol (30ml) and heated to reflux. Then 2N HCl (0.3ml) in 50% ethanol (10ml) was added dropwise. The reaction mixture was held at reflux for 4hours, cooled to room temperature and filtered. The filtrate was neutralised with sodium bicarbonate, extracted twice with ethylacetate and the organic phase was dried over sodium sulfate. Evaporation of the solvent under reduced pressure yielded 2-amino-4'(2,2-dichloro)ethylene-biphenyl (0.62g) (Compound No.12.07).

FORMULATION EXAMPLES FOR COMPOUNDS OF FORMULA (I)

Working procedures for preparing formulations of the compounds of formula I such as Emulsifiable Concentrates, Solutions, Granules, Dusts and Wettable Powders are described in WO97/33890.

BIOLOGICAL EXAMPLES: FUNGICIDAL ACTIONS

Example B-1: Action against Puccinia recondita / wheat (Brownrust on wheat)

1 week old wheat plants cv. Arina are treated with the formulated test compound (0.02% active ingredient) in a spray chamber. One day after application, the wheat plants are inoculated by spraying a spore suspension ($1x10^5$uredospores/ml) on the test plants. After an incubation period of 2 days at 20°C and 95%r.h. the plants are kept in a greenhouse for 8days at 20°C and 60%r.h. The disease incidence is assessed 10days after inoculation.

Infestation is prevented virtually completely (0-5% infestation) with each of compounds 1.01, 1.03, 1.08, 1.10, 1.22, 1.24, 1.69, 1.70, 1.72, 2.01, 2.08 and 9.01.

Example B-2: Action against Podosphaera leucotricha / apple (Powdery mildew on apple)

5 week old apple seedlings cv. McIntosh are treated with the formulated test compound (0.02% active ingredient) in a spray chamber. One day after, the application apple plants are inoculated by shaking plants infected with apple powdery mildew above the test plants. After an incubation period of 12 days at 22°C and 60%r.h. under a light regime of 14/10hours (light/dark) the disease incidence is assessed.

Infestation is prevented virtually completely (0-5% infestation) with each of compounds. 1.01, 1.03, 1.08 and 1.10.

Example B-3: Action against Venturia inaequalis / apple (Scab on apple)

4 week old apple seedlings cv. McIntosh are treated with the formulated test compound (0.02% active ingredient) in a spray chamber. One day after application, the apple plants are inoculated by spraying a spore suspension ($4x10^5$conidia/ml) on the test plants. After an incubation period of 4 days at 21°C and 95%r.h. the plants are placed for 4 days at 21°C and 60%r.h. in a greenhouse. After another 4 day incubation period at 21°C and 95%r.h. the disease incidence is assessed.

Infestation is prevented virtually completely (0-5% infestation) with each of compounds. 1.01, 1.03, 1.08 and 1.10.

Example B-4: Action against Erysiphe graminis / barley (Powdery mildew on barley)

1 week old barley plants cv. Regina are treated with the formulated test compound (0.02% active ingredient) in a spray chamber. One day after application, the barley plants are inoculated by shaking powdery mildew infected plants above the test plants. After an incubation period of 6 days at 20°C / 18°C (day/night) and 60%r.h. in a greenhouse the disease incidence is assessed.

Infestation is prevented virtually completely (0-5% infestation) with each of compounds 1.01, 1.03, 1.08, 1.10, 1.22, 1.24, 1.69, 1.70, 1.72, 2.01, 2.08 and 9.01.

Example B-5: Action against Botrytis cinerea / grape (Botrytis on grapes)

5 week old grape seedlings cv. Gutedel are treated with the formulated test compound (0.02% active ingredient) in a spray chamber. Two days after application, the grape plants are inoculated by spraying a spore suspension ($1x10^6$ conidia/ml) on the test plants. After an incubation period of 4 days at 21°C and 95%r.h. in a greenhouse the disease incidence is assessed.

Infestation is prevented virtually completely (0-5% infestation) with each of compounds 1.01, 1.03, 1.08 and 1.10.

Example B-6: Action against Botrytis cinerea / tomato (Botrytis on tomatoes)

4 week old tomato plants cv. Roter Gnom are treated with the formulated test compound (0.02% active ingredient) in a spray chamber. Two days after application, the tomato plants

are inoculated by spraying a spore suspension ($1x10^5$conidia/ml) on the test plants. After an incubation period of 4 days at 20°C and 95%r.h. in a growth chamber the disease incidence is assessed.

Infestation is prevented virtually completely (0-5% infestation) with each of compounds 1.01, 1.03, 1.08, 1.10, 1.22, 1.24, 1.69, 1.70, 1.72, 2.01, 2.08 and 9.01.

Example B-7: Action against Septoria nodorum / wheat (Septoria leaf spot on wheat)

1 week old wheat plants cv. Arina are treated with the formulated test compound (0.02% active ingredient) in a spray chamber. One day after application, the wheat plants are inoculated by spraying a spore suspension ($5x10^5$conidia/ml) on the test plants. After an incubation period of 1 day at 20°C and 95%r.h. the plants are kept for 10 days at 20°C and 60%r.h. in a greenhouse. The disease incidence is assessed 11 days after inoculation.

Infestation is prevented virtually completely (0-5% infestation) with each of compounds 1.01, 1.03, 1.08 and 1.10.

Example B-8: Action against Helminthosporium teres / barley (Net blotch on barley)

1 week old barley plants cv. Regina are treated with the formulated test compound (0.02% active ingredient) in a spray chamber. Two days after application, the barley plants are inoculated by spraying a spore suspension ($3x10^4$conidia/ml) on the test plants. After an incubation period of 4 days at 20°C and 95%r.h. in a greenhouse the disease incidence is assessed.

Infestation is prevented virtually completely (0-5% infestation) with each of compounds 1.01, 1.03, 1.08, 1.10, 1.22, 1.24, 1.69, 1.70, 1.72, 2.01, 2.08 and 9.01.

Example B-9: Action against Alternaria solani / tomato (Early blight on tomatoes)

4 week old tomato plants cv. Roter Gnom are treated with the formulated test compound (0.02% active ingredient) in a spray chamber. Two days after application, the tomato plants are inoculated by spraying a spore suspension ($2x10^5$conidia/ml) on the test plants. After an incubation period of 3 days at 20°C and 95%r.h. in a growth chamber the disease incidence is assessed.

Infestation is prevented virtually completely (0-5% infestation) with each of compounds 1.01, 1.03, 1.08, 1.10, 1.22, 1.24, 1.69, 1.70, 1.72, 2.01, 2.08 and 9.01.

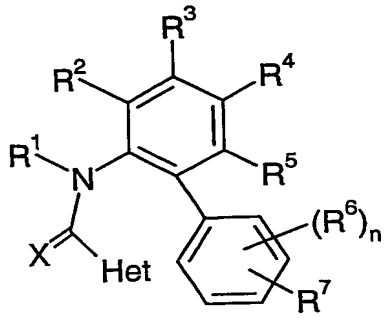
Example B-10: Action against Uncinula necator / grape (Powdery mildew on grapes)

5 week old grape seedlings cv. Gutedel are treated with the formulated test compound (0.02% active ingredient) in a spray chamber. One day after application, the grape plants are inoculated by shaking plants infected with grape powdery mildew above the test plants. After an incubation period of 7 days at 26°C and 60%r.h. under a light regime of 14/10hours (light/dark) the disease incidence is assessed.

Infestation is prevented virtually completely (0-5% infestation) with each of compounds 1.01, 1.03, 1.08 and 1.10.

CLAIMS

1. A compound of formula (I):



(I)

where

Het is a 5- or 6-membered heterocyclic ring containing one to three heteroatoms, each independently selected from oxygen, nitrogen and suphur, provided that the ring is not 1,2,3-triazole, the ring being substituted by one, two or three groups R^y;

R^1 is hydrogen, formyl, CO-C_{1-4} alkyl, COO-C_{1-4} alkyl, C_{1-4} alkoxy(C_{1-4})alkylene, CO-C_{1-4} alkylenoxy(C_{1-4})alkyl, propargyl or allenyl;

R^2, R^3, R^4 and R^5 are each, independently, hydrogen, halogen, methyl or CF_3;

each R^6 is, independently, halogen, methyl or CF_3;

R^7 is $(Z)_mC{\equiv}C(Y^1)$, $(Z)_mC(Y^1){=}C(Y^2)(Y^3)$ or tri(C_{1-4})alkylsilyl;

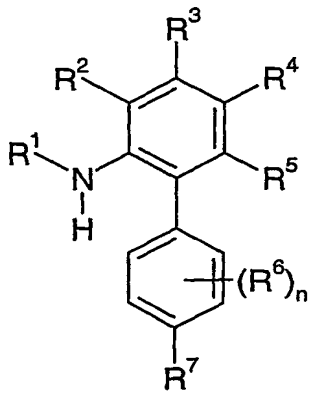
each R^y is, independently, halogen, C_{1-3} alkyl, C_{1-3} haloalkyl, C_{1-3} alkoxy(C_{1-3})alkylene or cyano;

X is O or S;

Y^1, Y^2 and Y^3 are each, independently, hydrogen, halogen, C_{1-4} alkyl [optionally substituted by one or more substituents each independently selected from halogen, hydroxy, C_{1-4} alkoxy, C_{1-4} haloalkoxy, C_{1-4} alkylthio, C_{1-4} haloalkylthio, C_{1-4} alkylamino, di(C_{1-4})alkylamino, C_{1-4} alkoxycarbonyl and tri(C_{1-4})alkylsilyl], C_{2-4} alkenyl [optionally substituted by one or more substituents each independently selected from halogen], C_{2-4} alkynyl [optionally substituted by one or more substituents each

independently selected from halogen], C_{3-7} cycloalkyl [optionally substituted by one or more substituents each independently selected from halogen, C_{1-4} alkyl and C_{1-4} haloalkyl] or tri(C_{1-4})alkylsilyl;
Z is C_{1-4} alkylene [optionally substituted by one or more substituents each independently selected from hydroxy, cyano, C_{1-4} alkoxy, C_{1-4} haloalkoxy, C_{1-4} alkylthio, COOH and COO-C_{1-4} alkyl];
m is 0 or 1; and
n is 0, 1 or 2.

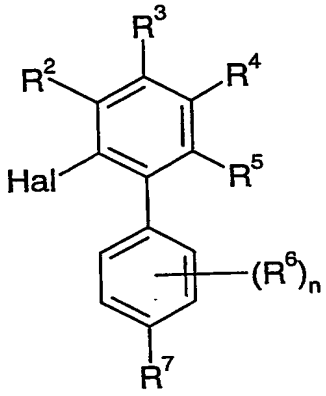
2. A compound of formula (II):



(II)

where R^1, R^2, R^3, R^4, R^5, R^6, R^7 and n are as defined in claim 1; provided that when R^1, R^2, R^3, R^4 and R^5 are each hydrogen and n is 0 then R^7 is not $CH=C(H)CH_2CO_2H$.

3. A compound of formula (III):



(III)

where R^2, R^3, R^4, R^5, R^6, R^7 and n are as defined in claim 1 and Hal is halogen; provided that when R^2, R^3, R^4 and R^5 are each hydrogen, Hal is fluorine and n is 0, then R^7 is not $CH=CHCH_2CO_2CH_2CH_3$.

4. A composition for controlling microorganisms and preventing attack and infestation of plants therewith, wherein the active ingredient is a compound of formula (I) as claimed in claim 1 together with a suitable carrier.

5. A method of controlling or preventing infestation of cultivated plants by phytopathogenic microorganisms by application of a compound of formula (I) as claimed in claim 1 to plants, to parts thereof or the locus thereof.